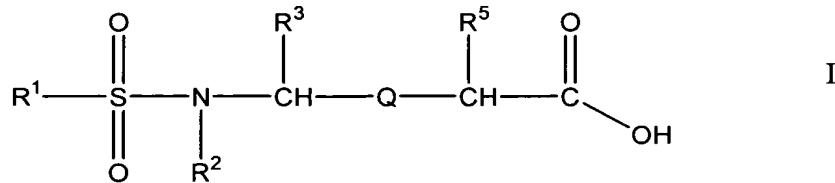


WHAT IS CLAIMED IS:

1. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula I below:



wherein

10 R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

20 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

25 R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-$, $NR^{8'}R^{8''}$ and $-O-Z-R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a

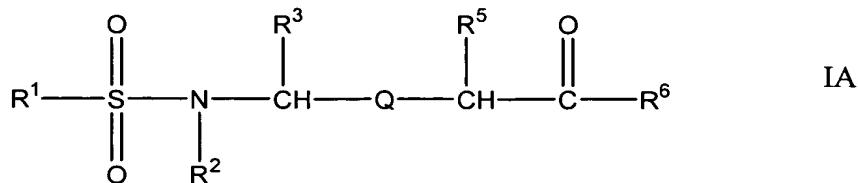
heterocycle or a substituted heterocycle, R^8 is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

5 x is an integer of from 1 to 4;

Q is -C(X)NR⁷ - wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

10 2. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IA below:



15 wherein:

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

20 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO₂ group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

25 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a

heterocyclic group with R¹, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ can form a heterocyclic or a substituted heterocyclic group;

5 R⁵ is - (CH₂)_x-Ar-R^{5'} where R^{5'} is selected from the group consisting of -O-Z- NR⁸R^{8'} and -O-Z- R^{8''} where R⁸ and R^{8'} are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R⁸ and R^{8'} are joined to form a heterocycle or a substituted heterocycle, R^{8''} is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of - 10 C(O)- and -SO₂-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

15 R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and - 20 NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -C(X)NR⁷- where R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

25 and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R¹ and R² together with the SO₂ group pendent to R¹ and the nitrogen pendent to R² form a saccharin-2-yl group, R³ is -CH₃, R⁵ is p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

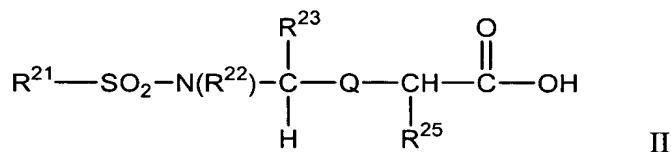
(B) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrodinyl ring derived from D-proline; R⁵ is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

5 (C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a pyrrolidinyl ring, R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃; and

10 (D) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a (2S)-piperazin-2-carbonyl ring; R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃.

3. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula II below:

15



wherein:

20 R²¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

25 R²² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R²¹ and R²² together with the nitrogen atom bound to R²² and the SO₂ group bound to R²¹ can form a heterocyclic or a substituted heterocyclic group;

5 R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

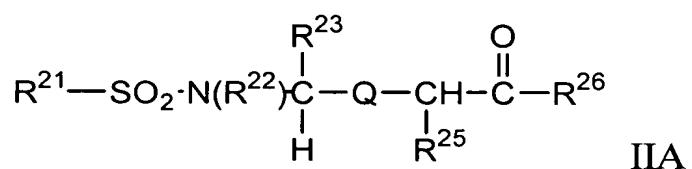
10 Q is $-C(X)NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl;

10 X is selected from the group consisting of oxygen and sulfur; and

15 R^{25} is $-CH_2Ar^{22}-R^{25}'$ where Ar^{22} is aryl or heteroaryl and R^{25}' is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

and pharmaceutically acceptable salts thereof.

4. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a 20 remyelinating effective amount, wherein the compound is of formula IIA below:



where

25 R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

5 R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

10 R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

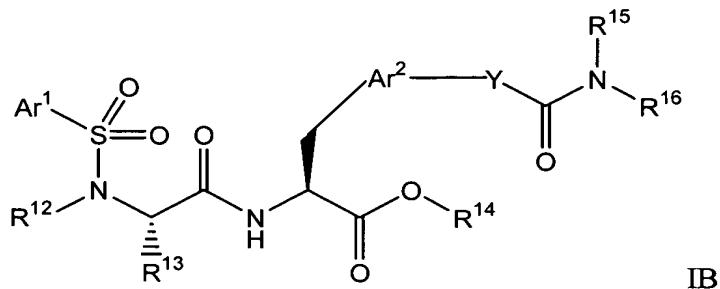
15 R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy;

20 R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, $-O-(N-$ succinimidyl), $-NH$ -adamantyl, $-O$ -cholest-5-en-3- β -yl, $-NHOY$ where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where p is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^{29}R^{30}$ where R^{29} is selected from the group consisting of $-C(O)$ -aryl and $-C(O)$ -substituted aryl and R^{30} is selected from the group consisting of hydrogen and $-CH_2COOR^{31}$ where R^{31} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

25 Q is $-C(X)NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

5. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IB below:



10 wherein:

Ar¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

15 R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

20 R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R^{15} is selected from the group consisting of alkyl, and substituted alkyl, or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

5 R^{16} is selected from the group consisting of alkyl and substituted alkyl or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR¹⁰⁰-, and -CH₂- wherein R¹⁰⁰ is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

10

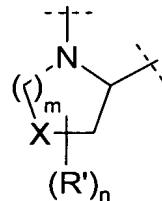
6. The method according to claim 5, wherein R^{12} is alkyl, substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group; and R^{14} is hydrogen or alkyl.

15

7. The method according to claim 5, wherein Ar¹ is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)-]phenyl, 4-chloro-3-[H₂NS(O)₂-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-

methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

5 8. The method according to claim 5, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



10 wherein

X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally substituted -CH₂-;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

15 R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

9. The method according to claim 8, wherein m is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.

20 10. The method according to claim 8, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-yl)C(O)O-)pyrrolidinyl, 4-[CH₃S(O)₂O-]pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrrolidinyl, 4,4-

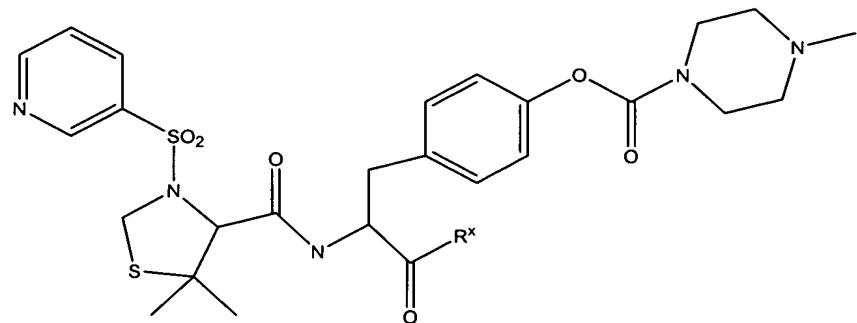
dimethylpyrrolidinyl, 4-*N*-Cbz-piperazinyl, 4-[CH₃S(O)₂-]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolindin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholiny.

5 11. The method according to claim 5, wherein Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

10 12. The method according to claim 5, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)₂NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetyl piperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxyethyl)(CH₃)NC(O)O-, bis(2-(hydroxyethyl)NC(O)O-, (2-(formyloxyethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl]-HNC(O)O-.

13. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IC below:

5



IC

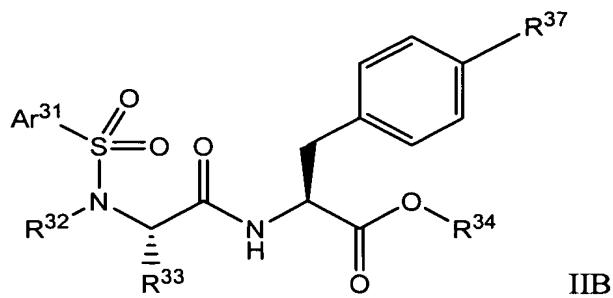
wherein

10 R^x is hydroxy or C_{1-5} alkoxy; and
pharmaceutically acceptable salts thereof.

14. The method according to claim 13, wherein the compound is *N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester.

15. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIB below:

20



wherein:

5 Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

10 R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

15 R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

20 R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

25 R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

16. The method according to claim 15, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group; and R^{34} is hydrogen or alkyl.

17. The method according to claim 15, wherein R³⁷ is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

18. The method according to claim 17, wherein R³⁷ is substituted aryl,
5 wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

10 19. The method according to claim 17, wherein R³⁷ is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

15 20. The method according to claim 19, wherein R³⁷ is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

20 21. The method according to claim 15, wherein Ar³¹ is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH₃C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-t-butylphenyl, 4-t-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H₂NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

22. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is selected from the group 5 consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *n*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine cyclopentyl ester

35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotyloxy)phenylalanine ethyl ester

5 *N*-(α -toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester

10 *N*-(α -toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester

25 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

45 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

50 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

55 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

60 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25 *N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester

10 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester

15 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

20 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester

25 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetyl

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

45 *N*-(4-fluorobenzenesulfonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

50 *N*-(4-fluorobenzenesulfonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

55 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

60 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

65 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

70 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

5 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

15 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyl)oxy)phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

25 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

35 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyl)oxy)phenylethyl]azetidin-2-one

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

45 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

50 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine *tert*-butyl ester

55 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

60 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

65 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

70 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyl)phenylalanine *tert*-butyl ester

5 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyl)phenylalanine

10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester

15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine

20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylcarbonyloxy)phenylalanine

25 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine

30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylcarbonyloxy)phenylalanine *tert*-butyl ester

40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyl)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

5 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyl)oxy)phenylalanine *tert*-butyl ester

10 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester

15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamyl)oxy)phenylalanine

20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyl)oxy)phenylalanine isopropyl ester

25 N-(toulene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyl)oxy)phenylalanine *tert*-butyl ester

30 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester

40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

45 N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

50 N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

55 N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

60 N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

65 N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyl)oxy)phenylalanine

70 N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

5 *N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

10 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

20 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

25 *N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

30 *N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

35 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

5 *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyl)phenylalanine

5 *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

10 *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyl)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyl)phenylalanine

15 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine ethyl ester

20 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

20 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

25 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

25 *N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

30 *N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

35 *N*-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

40 *N*-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

40 *N*-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

5 *N*-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

10 *N*-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

15 *N*-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

20 *N*-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

25 *N*-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

30 *N*-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

35 *N*-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

40 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

N-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

N-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

N-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

N-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

5 *N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

35 *N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

10 *N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

15 *N*-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

20 *N*-(2,4-difluorobenzene-fulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

25 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine 2,2-dimethylpropyl ester

30 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine 2,2-dimethylpropyl ester

35 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine cyclopropylmethyl ester

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine methyl ester

45 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine ethyl ester

50 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine cyclopropylmethyl ester

55 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine 2-methoxyphenyl ester

60 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *n*-butyl ester

65 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *n*-propyl ester

70 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine 2,2-dimethylpropionyloxymethyl ester

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester

25 *N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

40 *N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

45 *N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

50 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

55 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

60 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

5 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

10 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

20 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

25 *N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

30 *N*-(4-cyanobenzenesulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

35 *N*-(4-aminobenzenesulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-proyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

N-(toluene-4-sulfonyl)-L-proyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methyl-1*H*-imidazole-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

5 *N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

10 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

15 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

20 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine

30 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

35 *N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N'*-methyl-*N'*-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester

45 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N'*-phenylaminocarbonyloxy)ethyl)carbamyloxy]phenylalanine isopropyl ester

50 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

55 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

60 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

65 *N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

40 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

45 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

50 *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpiperazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

55 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

60 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

65 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

35 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

40 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(4-aminocarbonylbenzenesulfonyl)-L-proyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

5 *N*-(4-amidinobenzenesulfonyl)-L-proyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

10 *N*-(4-nitrobenzenesulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester

15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine

20 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

20 *N*-(1-methylimidazole-4-sulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

25 *N*-(1-methylimidazole-4-sulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

40 *N*-(4-fluorobenzenesulfonyl)-L-proyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

35 *N*-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

5 *N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

5 *N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

15 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

20 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

25 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

25 *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

23. The method according to any one of claims 5, 13, and 15, wherein the mammal is a human.

30

24. The method according to any one of claims 5, 13, and 15, wherein the human suffers from a condition which demyelinates cells, and wherein said condition is multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

25. The method according to claim 24, wherein the human suffers from multiple sclerosis.

5 26. The method according to any one of claims 5, 13, and 15, wherein the compound is administered parenterally.

27. The method according to any one of claims 5, 13, and 15, wherein the compound is administered chronically to the mammal in need thereof.

10 28. The method according to claim 27, wherein the chronic administration of the compound is weekly or monthly over a period of at least one year.

29. The method according to any one of claims 5, 13, and 15, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

15 30. The method according to claim 29, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

20 31. The method according to claim 30, wherein the anti-inflammatory agent is adrenocorticotrophic hormone, a corticosteroid, an interferon, glatiramer acetate, or a non-steroidal anti-inflammatory drug.

32. The method according to claim 31, wherein the interferon is interferon beta-1b or interferon beta-1a.

25 33. The method according to claim 31, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone, fludrocortisone, prednisolone, 6 α -methylprednisolone, triamcinolone, or betamethasone.

34. The method according to claim 33, wherein the corticosteroid is prednisone.

35. The method according to claim 31, wherein the non-steroidal anti-inflammatory drug is aspirin, a sodium salicylate, choline magnesium trisalicylate, salsalate, diflunisal, sulfasalazine, olsalazine, a para-aminophenol derivatives, an indole, an indene acetic acid, a heteroaryl acetic acid, an anthranilic acid, an enolic acid, an alkanones, a diaryl-substituted furanone, a diaryl-substituted pyrazoles, an indole acetic acids, or a sulfonanilide.

10

36. The method according to any one of claims 5, 13, and 15, wherein the compound is administered intravenously or subcutaneously.

15

37. The method according to claim 36, wherein the compound is administered intravenously to a mammal, and wherein the administration results in an effective blood level of the compound in the mammal of ≥ 10 ng/ml.

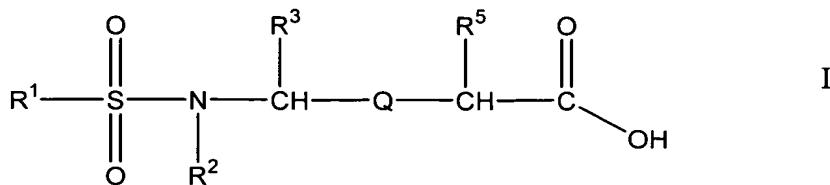
20

38. The method according to claim 36, wherein the compound is administered intravenously in an amount of 20 μ g to about 500 μ g per kilogram body weight of the mammal.

39. A combination therapy comprising a therapeutically effective amount of a compound, which prevents demyelination and promotes remyelination when administered to a subject in need thereof, and an anti-inflammatory agent.

25

40. The combination therapy according to claim 39, wherein the compound is of formula I below:



wherein

5 R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

10 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

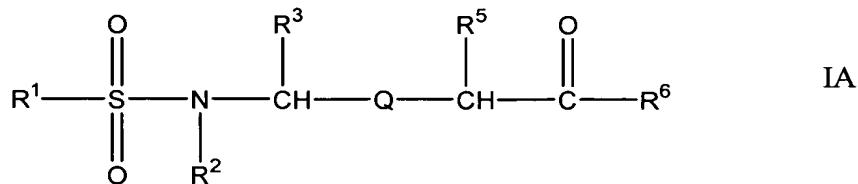
15 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

20 R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-NR^8R^{8'}$ and $-O-Z-R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-C(O)-$ and $-SO_2-$;

25 Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;
 x is an integer of from 1 to 4;

Q is $-\text{C}(\text{X})\text{NR}^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

5 41. The combination therapy according to claim 39, wherein the compound is of formula IA below:



wherein:

10 R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

20 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

25 R^5 is $-\text{(CH}_2\text{)}_x\text{-Ar-R}^{5\prime}$ where $\text{R}^{5\prime}$ is selected from the group consisting of $-\text{O-Z-}$ $\text{NR}^8\text{R}^{8\prime}$ and $-\text{O-Z- R}^{8\prime\prime}$ wherein R^8 and $\text{R}^{8\prime}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl,

heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

5 Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;
 x is an integer of from 1 to 4;

10 R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, 15 substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

15 Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof

20 with the following provisos

(A) when R¹ and R² together with the SO₂ group pendent to R¹ and the nitrogen pendent to R² form a saccharin-2-yl group, R³ is -CH₃, R⁵ is p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

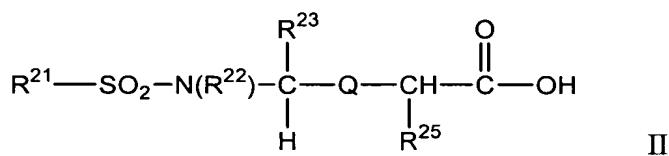
25 (B) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrodinyl ring derived from D-proline; R⁵ is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

(C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a pyrrolidinyl ring, R⁵ is p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃; and

5 (D) when R¹ is p-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a (2S)-piperazin-2-carbonyl ring; R⁵ is p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃.

42. The combination therapy according to claim 39, wherein the compound is of formula II below:

10



wherein:

R²¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, 15 heteroaryl and substituted heteroaryl;

R²² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R²¹ and R²² together with the nitrogen atom bound to R²² and the SO₂ group bound to R²¹ can form a heterocyclic or a substituted heterocyclic group;

20 R²³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R²² and R²³ together with the nitrogen atom bound to R²² and the carbon atom bound to R²³ can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that 25 when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

Q is $-\text{C}(\text{X})\text{NR}^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl;

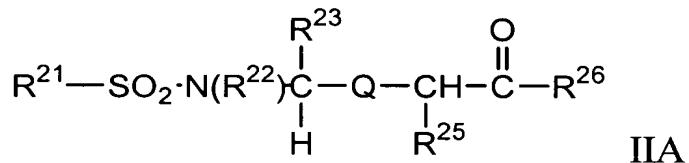
X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-\text{CH}_2\text{Ar}^{22}-\text{R}^{25}$ where Ar^{22} is aryl or heteroaryl and R^{25} is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

and pharmaceutically acceptable salts thereof.

10

43. The combination therapy according to claim 39, wherein the compound is of formula IIA below:



15

where

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

20

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

25

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the

nitrogen atom bound to R²² and the carbon atom bound to R²³ can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

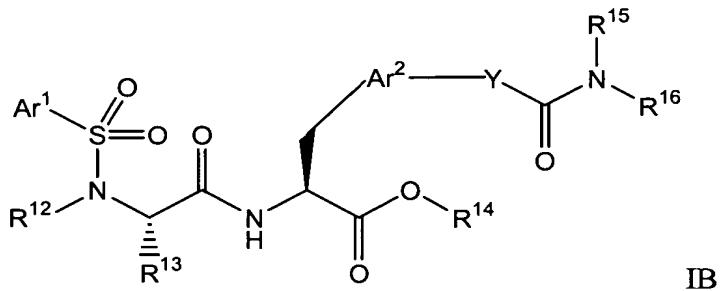
5 R²⁵ is -CH₂Ar²²-R^{25'} where Ar²² is aryl or heteroaryl and R^{25'} is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

10 R²⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR²⁹R³⁰ where R²⁹ is selected
15 from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R³⁰ is selected from the group consisting of hydrogen and -CH₂COOR³¹ where R³¹ is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

20 Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;
and pharmaceutically acceptable salts thereof.

25 44. The combination therapy according to claim 39, wherein the compound is of formula IB below:



wherein:

5 Ar¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

10 R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

15 R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R¹⁵ is selected from the group consisting of alkyl, and substituted alkyl, or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

20 R¹⁶ is selected from the group consisting of alkyl and substituted alkyl or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

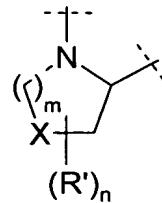
Y is selected from the group consisting of -O-, -NR¹⁰⁰-, and -CH₂- wherein R¹⁰⁰ is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

45. The combination therapy according to claim 44, wherein R^{12} is alkyl, substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group; and R^{14} is hydrogen or alkyl.

46. The combination therapy according to claim 44, wherein Ar^1 is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 10 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 15 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)-]phenyl, 4-chloro-3-[H₂NS(O)₂-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

25 47. The combination therapy according to claim 44, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



wherein

5 X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally substituted -CH₂;

 m is an integer of 0 to 12;

 n is an integer of 0 to 2; and

 R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

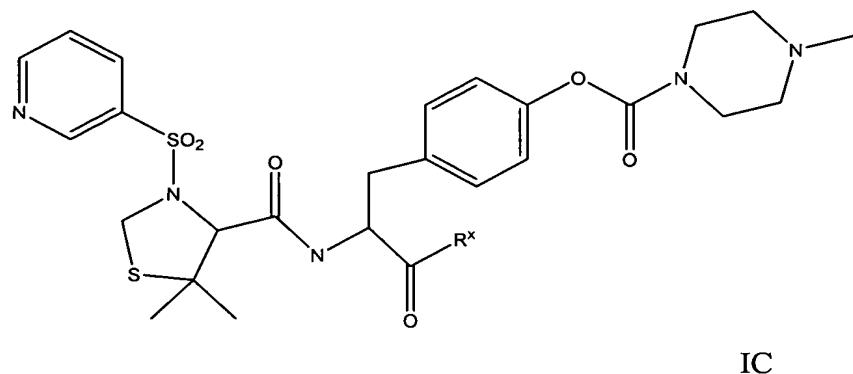
10 48. The combination therapy according to claim 47, wherein m is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.

15 49. The combination therapy according to claim 47, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidinyl, 4-[CH₃S(O)₂O-]pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrrolidinyl, 4,4-dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-[CH₃S(O)₂]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolindin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

25 50. The combination therapy according to claim 44, wherein Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

51. The combination therapy according to claim 44, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)₂NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1]-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpirazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxyethyl)(CH₃)NC(O)O-, bis(2-(hydroxyethyl)NC(O)O-, (2-(formyloxyethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

25 52. The combination therapy according to claim 39, wherein the compound is of formula IC below:



IC

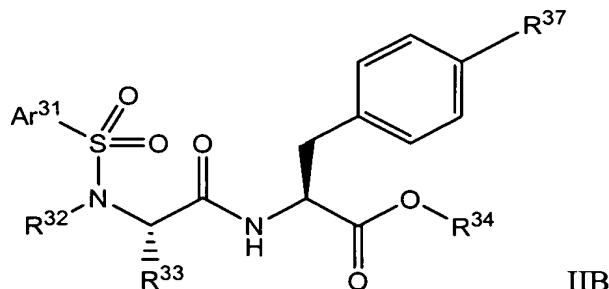
wherein

5 R^x is hydroxy or C_{1-5} alkoxy; and
 pharmaceutically acceptable salts thereof.

53. The combination therapy according to claim 52, wherein the compound
 is *N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-
 10 ylcarbonyl]-L-tyrosine isopropyl ester.

54. The combination therapy according to claim 39, wherein the compound
 is of formula IIB below:

15



IIB

wherein:

Ar³¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R³² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R³² and R³³ together with the nitrogen atom bound to R³² and the carbon atom bound to R³³ form a heterocyclic or substituted heterocyclic group;

R³³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R³² and R³³ together with the nitrogen atom bound to R³² and the carbon atom bound to R³³ form a heterocyclic or substituted heterocyclic group;

R³⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R³⁷ is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

15

55. The combination therapy according to claim 54, wherein R³² is alkyl, substituted alkyl, or R³² and R³³ together with the nitrogen atom bound to R³² and the carbon atom bound to R³³ form a heterocyclic or substituted heterocyclic group; and R³⁴ is hydrogen or alkyl.

20

56. The combination therapy according to claim 54, wherein R³⁷ is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

25

57. The combination therapy according to claim 56, wherein R³⁷ is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

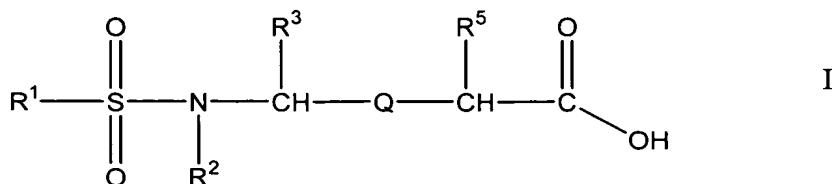
58. The combination therapy according to claim 56, wherein R³⁷ is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

5 59. The combination therapy according to claim 58, wherein R³⁷ is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

10 60. The combination therapy according to claim 58, wherein Ar³¹ is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH₃C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 15 3,5-di-(trifluoromethyl)phenyl, 4-t-butylphenyl, 4-t-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H₂NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl, 20 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3'-dimethylamino-n-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

61. The combination therapy according to any one of claims 44, 52, and 54, wherein the subject in need of remyelination suffers from multiple sclerosis, a 25 congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

62. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula I below



wherein

10 R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

20 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

25 R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-NR^8R^{8'}$ and $-O-Z-R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a

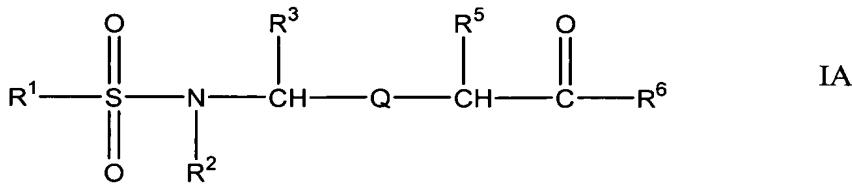
heterocycle or a substituted heterocycle, R^8 is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of - $C(O)-$ and $-SO_2-$;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

5 x is an integer of from 1 to 4;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

10 63. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IA below



wherein:

20 R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

25 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R² does not form a heterocyclic group with R¹, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ can form a heterocyclic or a substituted heterocyclic group;

⁵ R⁵ is - (CH₂)_x-Ar-R^{5'} where R^{5'} is selected from the group consisting of -O-Z-NR⁸R^{8'} and -O-Z- R^{8''} wherein R⁸ and R^{8'} are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R⁸ and R^{8'} are joined to form a heterocycle or a substituted heterocycle, R^{8''} is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

¹⁰ Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

¹⁵ x is an integer of from 1 to 4;

⁶ R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

²⁰ Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

²⁵ and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R¹ and R² together with the SO₂ group pendent to R¹ and the nitrogen pendent to R² form a saccharin-2-yl group, R³ is -CH₃, R⁵ is

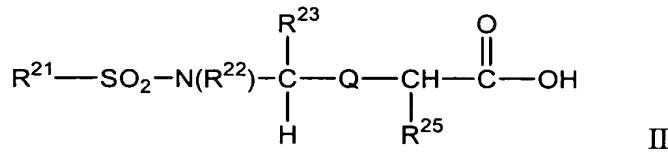
p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

5 (B) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrodinyl ring derived from D-proline; R⁵ is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

10 (C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a pyrrolidinyl ring, R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃; and

15 (D) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a (2S)-piperazin-2-carbonyl ring; R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃.

64. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote 20 remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula II below



wherein:

25 R²¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

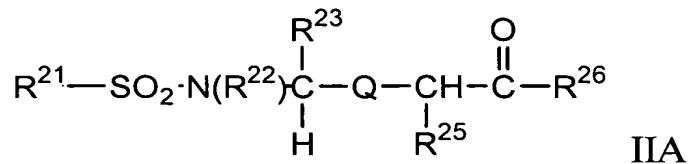
R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

Q is $-C(X)NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ; and pharmaceutically acceptable salts thereof.

65. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IIA below



where

5 R²¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

¹⁰ R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

20 R^{25} is $-\text{CH}_2\text{Ar}^{22}-\text{R}^{25'}$ where Ar^{22} is aryl or heteroaryl and $\text{R}^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, , heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

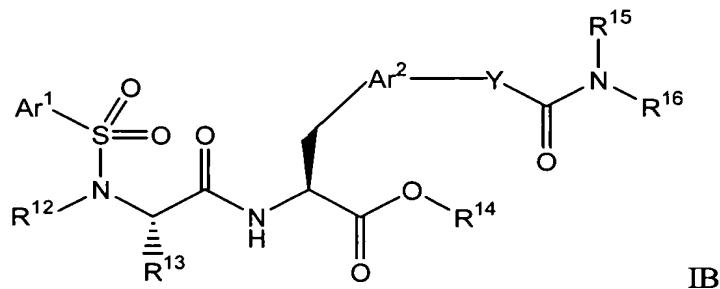
²⁶ R²⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an

integer of from 1 to 8 and Y is as defined above, $-\text{OCH}_2\text{NR}^{29}\text{R}^{30}$ where R^{29} is selected from the group consisting of $-\text{C}(\text{O})\text{-aryl}$ and $-\text{C}(\text{O})\text{-substituted aryl}$ and R^{30} is selected from the group consisting of hydrogen and $-\text{CH}_2\text{COOR}^{31}$ where R^{31} is alkyl, and $-\text{NHSO}_2\text{Z}'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, 5 substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-\text{C}(\text{X})\text{NR}^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

10 X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

66. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote 15 remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IB below



20

wherein:

Ar^1 is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R¹⁵ is selected from the group consisting of alkyl, and substituted alkyl, or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R¹⁶ is selected from the group consisting of alkyl and substituted alkyl or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR¹⁰⁰-, and -CH₂- wherein R¹⁰⁰ is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

20

67. The method according to claim 66, wherein R¹² is alkyl, substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group; and R¹⁴ is hydrogen or alkyl.

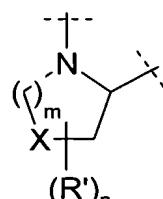
25

68. The method according to claim 66, wherein Ar¹ is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-

chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)-]phenyl, 4-chloro-3-[H₂NS(O)₂-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl; and

15 Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

69. The method according to claim 66, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic of the formula:



20

wherein

X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally substituted -CH₂-;

25

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

70. The method according to claim 69, wherein m is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.

5

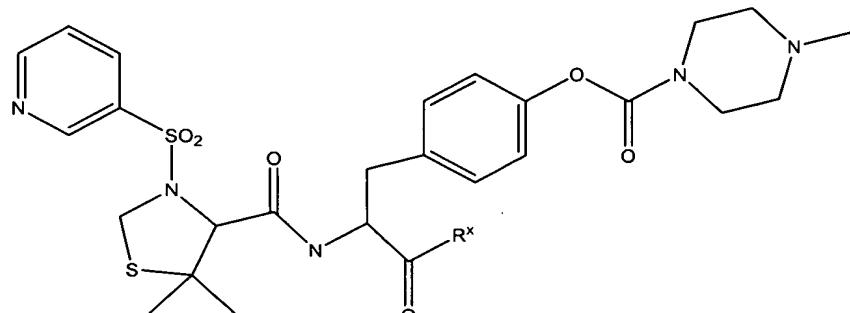
71. The method according to claim 69, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-yl)C(O)O-)pyrrolidinyl, 4-[CH₃S(O)₂O-)pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrolidinyl, 4,4-dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-[CH₃S(O)₂-]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolindin-4-yl, 1,1-dioxo-thiazolidinyl, 15 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

72. The method according to claim 66, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)₂NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidin-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetyl)piperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-

C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxyethyl)(CH₃)NC(O)O-, bis(2-(hydroxyethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl]-JHNC(O)O-.

10 73. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IC below

15



IC

20

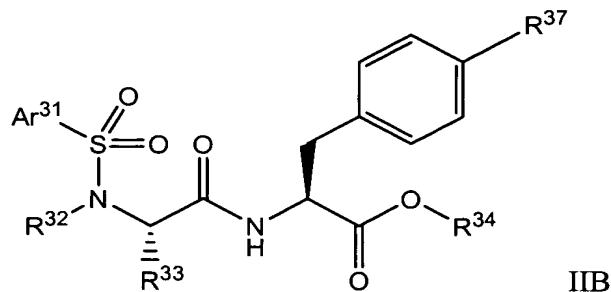
wherein

R^x is hydroxy or C₁₋₅ alkoxy; and
pharmaceutically acceptable salts thereof.

74. The use according to claim 73, wherein the compound is *N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester.

5 75. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IIB below

10



15 wherein:

Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

20

R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

5 and pharmaceutically acceptable salts thereof.

76. The method according to claim 75, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group; R^{34} is hydrogen or alkyl; and R^{37} is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

77. The method according to claim 75, wherein R^{37} is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

78. The method according to claim 77, wherein R^{37} is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

79. The method according to claim 78, wherein R^{37} is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

80. The method according to claim 75, wherein Ar^{31} is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-

methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH₃C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H₂NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

81. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is selected from the group consisting of:

20 *N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester;

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine ethyl ester

35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester

45 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

5 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester

15 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(isonipecotoyloxy)phenylalanine ethyl ester

25 *N*-(α -toluenesulfonyl)-L-proyl-L-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester

25 *N*-(α -toluenesulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-proyl-L-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

30 *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester

35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

15 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

40 *N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

10 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetyl

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine

25 *N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethylthiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethylthiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

40 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethylthiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

45 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

50 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

55 *N*-(toluene-4-sulfonyl)-N-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

60 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester

35 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester

30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-phenylpiperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester

10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester

25 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester

30 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester

35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester

40 N-(toulene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

40 *N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

20 *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

35 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

35 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

40 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

20 *N*-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

25 *N*-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

45 *N*-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

50 *N*-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

55 *N*-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

60 *N*-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

65 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

15 *N*-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

15 *N*-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

20 *N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

35 *N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

40 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

5 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

5 *N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

15 *N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

25 *N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

25 *N*-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(2,4-difluorobenzeneulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

35 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

40 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
 5

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester
 10

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester
 10

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
 15

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester
 15

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester
 20

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine
 25

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine
 25

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester
 30

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester
 30

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
 35

N-(4-(*N*-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
 40

N-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
 40

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
 40

5 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

10 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

15 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine

25 *N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

30 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

35 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

20 *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

30 *N*-(1-methyl-1*H*-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

40 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

45 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

50 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

55 *N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

60 *N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine

65 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

70 *N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N*¹-methyl-*N*²-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N*¹-phenylaminocarbonyloxy)ethyl)carbamyloxy]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

15 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

25 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

30 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

35 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

35 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

40 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

5 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

5 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15 *N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

25 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

25 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester

30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

35 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine

35 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

40 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

5 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

15 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

15 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

20 *N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

25 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

30 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

5 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

5 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

10 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

10 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

15 *N*-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

20 *N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

20 *N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

30 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

35 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

35 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

40 *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

82. The method according to any one of claims 66, 73, and 75, wherein the subject with paralysis suffers from multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

83. The method according to any one of claims 66, 73, and 75, wherein the subject is human.

84. The method according to any one of claims 66, 73, and 75, further comprising co-administering an immunosuppressant.

85. The method according to any one of claims 66, 73, and 75, wherein the compound is administered chronically to the subject in need thereof.

86. The method of a compound according to claim 85, wherein the chronic administration of the compound occurs weekly or monthly for at least 12 months.

87. The method of a compound according to claim 84, wherein the immunosuppressant is adrenocorticotropic hormone, a corticosteroid, or an interferon.

88. The method of a compound according to claim 87, wherein the interferon is interferon beta-1b or interferon beta-1a.

89. The method of a compound according to claim 87, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone,

fludrocortisone, prednisolone, 6α -methylprednisolone, triamcinolone, or betamethasone.